

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	709	(514/252.13,514/255.01,514/255.05,544/358,544/360,544/367,544/372,544/374,544/386).CCLS.	US-PGPUB; USPAT	OR	OFF	2007/04/19 18:20
L2	0	l1 and piperazinylacylpiperidine	US-PGPUB; USPAT	OR	ON	2007/04/19 18:21
L3	99	l1 and piperazinyl and acyl and piperidine	US-PGPUB; USPAT	OR	ON	2007/04/19 18:21
L4	0	l1 and piperazinylpiperidine	US-PGPUB; USPAT	OR	ON	2007/04/19 18:21
L5	0	l1 and piperazinylacyl and piperidine	US-PGPUB; USPAT	OR	ON	2007/04/19 18:22
L6	150	l1 and piperazine and acyl and piperidine	US-PGPUB; USPAT	OR	ON	2007/04/19 18:22
L7	1	l1 and piperazine and acyl and piperidine and ketone and 1,3-thiazol-2-yl	US-PGPUB; USPAT	OR	ON	2007/04/19 18:26
L8	1	l1 and piperazine and piperidine and 1,3-thiazol-2-yl	US-PGPUB; USPAT	OR	ON	2007/04/19 18:26

~~20/513688~~

10/516,808

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAEAL1624

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 JAN 08 CHEMLIST enhanced with New Zealand Inventory of Chemicals  
NEWS 3 JAN 16 CA/CAPLUS Company Name Thesaurus enhanced and reloaded  
NEWS 4 JAN 16 IPC version 2007.01 thesaurus available on STN  
NEWS 5 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data  
NEWS 6 JAN 22 CA/CAPLUS updated with revised CAS roles  
NEWS 7 JAN 22 CA/CAPLUS enhanced with patent applications from India  
NEWS 8 JAN 29 PHAR reloaded with new search and display fields  
NEWS 9 JAN 29 CAS Registry Number crossover limit increased to 300,000 in multiple databases  
NEWS 10 FEB 15 PATDPASPC enhanced with Drug Approval numbers  
NEWS 11 FEB 15 RUSSIAPAT enhanced with pre-1994 records  
NEWS 12 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality  
NEWS 13 FEB 26 MEDLINE reloaded with enhancements  
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field  
NEWS 15 FEB 26 TOXCENTER enhanced with reloaded MEDLINE  
NEWS 16 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements  
NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases  
NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format  
NEWS 19 MAR 16 CASREACT coverage extended  
NEWS 20 MAR 20 MARPAT now updated daily  
NEWS 21 MAR 22 LWPI reloaded  
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements  
NEWS 23 MAR 30 INPADOCDB will replace INPADOC on STN  
NEWS 24 APR 02 JICST-EPLUS removed from database clusters and STN  
  
NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.  
  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

10/513699

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 17:03:46 ON 19 APR 2007

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 17:04:22 ON 19 APR 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 APR 2007 HIGHEST RN 930838-51-0

DICTIONARY FILE UPDATES: 18 APR 2007 HIGHEST RN 930838-51-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

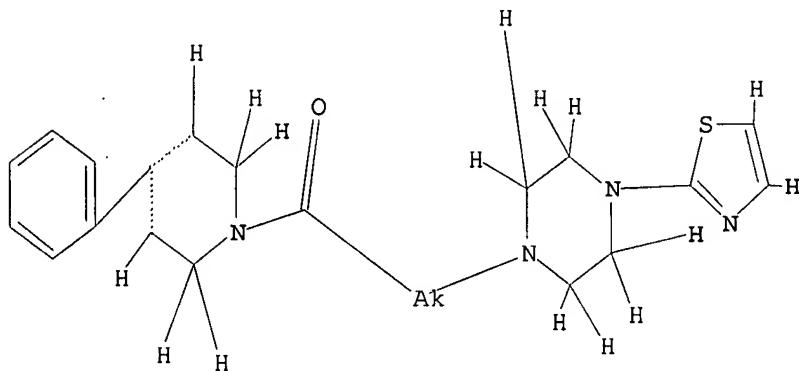
Uploading C:\Program Files\Stnexp\Queries\10516808.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



10/513699

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 17:04:47 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 5 TO 234

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 17:04:51 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 176 TO ITERATE

100.0% PROCESSED 176 ITERATIONS 15 ANSWERS  
SEARCH TIME: 00.00.01

L3 15 SEA SSS FUL L1

=> file capls

'CAPLS' IS NOT A VALID FILE NAME

SESSION CONTINUES IN FILE 'REGISTRY'

Enter "HELP FILE NAMES" at an arrow prompt (=>) for a list of files that are available. If you have requested multiple files, you can specify a corrected file name or you can enter "IGNORE" to continue accessing the remaining file names entered.

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

172.10

172.31

FILE 'CAPLUS' ENTERED AT 17:04:59 ON 19 APR 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 19 Apr 2007 VOL 146 ISS 17

FILE LAST UPDATED: 18 Apr 2007 (20070418/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.

<12/04/2007>

Erich Leese

10/513699

They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s l3 full

L4 1 L3

=> d ibib abs hitstr

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:991507 CAPLUS

DOCUMENT NUMBER: 140:42206

TITLE: Preparation of piperazinyllacylpiperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases

INVENTOR(S): Bono, Francoise; Bosch, Michael; Dos Santos, Victor; Herbert, Jean Marc; Nisato, Dino; Tonnerre, Bernard; Wagnon, Jean

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

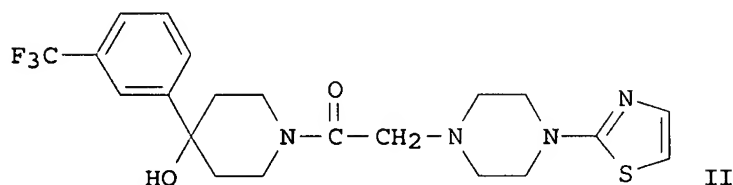
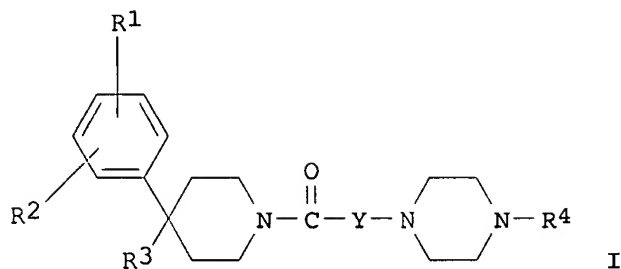
DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104226	A1	20031218	WO 2003-FR1686	20030605
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003255645	A1	20031222	AU 2003-255645	20030605
EP 1513836	A1	20050316	EP 2003-757109	20030605
EP 1513836	B1	20060503		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1675203	A	20050928	CN 2003-818808	20030605
JP 2005533051	T	20051104	JP 2004-511296	20030605
AT 325122	T	20060615	AT 2003-757109	20030605
AT 336491	T	20060915	AT 2003-757108	20030605
PT 1513836	T	20060929	PT 2003-757109	20030605
ES 2264001	T3	20061216	ES 2003-3757109	20030605
US 2006167007	A1	20060727	US 2004-516808	20041203
PRIORITY APPLN. INFO.:			FR 2002-7001	A 20020607
			WO 2003-FR1686	W 20030605
OTHER SOURCE(S):	MARPAT 140:42206			
GI				



AB Title compds. I [wherein: Y = (CH<sub>2</sub>)<sub>n</sub>; n = 1 or 2; R<sub>1</sub> = halo, CF<sub>3</sub>, alkyl, alkoxy, trifluoromethoxy; R<sub>2</sub> = H, halo; R<sub>3</sub> = H, OR<sub>5</sub>, CH<sub>2</sub>OR<sub>5</sub>, NH<sub>2</sub> and derivs., NHCOR<sub>6</sub> and derivs., NHCONH<sub>2</sub> and derivs., CH<sub>2</sub>NR<sub>7</sub>R<sub>8</sub>, CH<sub>2</sub>NHCONH<sub>2</sub> and derivs., alkoxy carbonyl, CONH<sub>2</sub> and derivs.; or R<sub>3</sub> forms a double bond between the carbon atom where it is bound to and the neighboring carbon atom of the piperidine cycle; R<sub>4</sub> = 1,3-thiazol-2-yl; R<sub>5</sub> = H, alkyl, alkylcarbonyl; R<sub>6</sub> = alkyl, (CH<sub>2</sub>)<sub>m</sub>NH<sub>2</sub> and derivs.; m = 1, 2, or 3; R<sub>7</sub>, R<sub>8</sub> = independently H, alkyl; R<sub>8</sub> = (CH<sub>2</sub>)<sub>q</sub>OH, (CH<sub>2</sub>)<sub>q</sub>SMe; q = 2 or 3; or R<sub>7</sub>R<sub>8</sub>N = aziridine, azetidine, pyrrolidine, piperidine, morpholine; and their salts, hydrates and solvates] were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, I (m.p. = 157-158°) was prepared by reacting 2-chloro-1-[4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone (preparation given) and 1-(1,3-thiazol-2-yl)piperazine dihydrochloride (preparation given) in the presence of KI/K<sub>2</sub>CO<sub>3</sub>/MeCN. I inhibited the binding of 125I NGF to p75NTR receptor with IC<sub>50</sub> in the range of 10<sup>-11</sup> M to 10<sup>-6</sup> M at the biochem. level. I inhibited the pro-apoptotic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC<sub>50</sub> in the range of 10<sup>-11</sup> M to 10<sup>-6</sup> M at the cellular level.

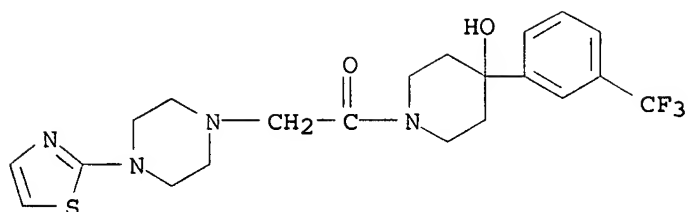
IT 634613-42-6P, 1-[4-Hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone  
634613-43-7P 634613-45-9P, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone Trihydrochloride

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(NGF binding inhibitor; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

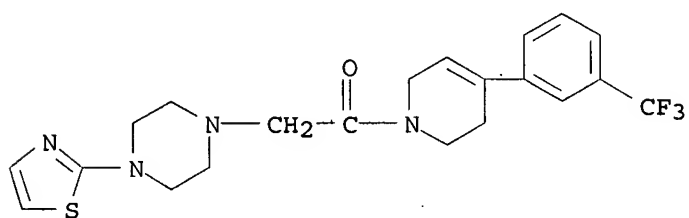
RN 634613-42-6 CAPLUS

CN 4-Piperidinol, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



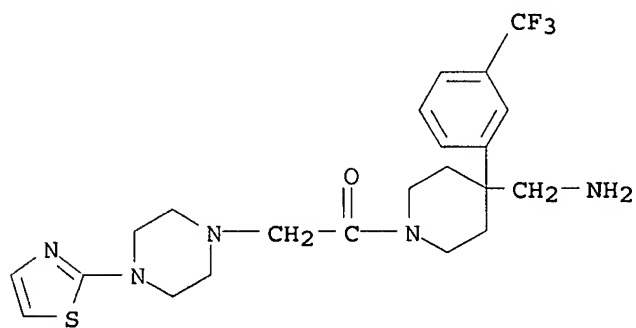
RN 634613-43-7 CAPLUS

CN Pyridine, 1,2,3,6-tetrahydro-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-45-9 CAPLUS

CN 4-Piperidinemethanamine, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

IT 634613-37-9P 634613-38-0P 634613-39-1P

634613-40-4P 634613-41-5P 634613-44-8P,

2-[4-[(1,3-Thiazol-2-yl)-1-piperazinyl]-1-[4-[3-(trifluoromethyl)phenyl]-

3,6-dihydro-1-(2H)-pyridinyl]-1-ethanone dioxalate 634613-47-1P,

1-[4-[(Dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-

2-[4-[(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone 634613-48-2P,

1-[4-[(Methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-

[4-[(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

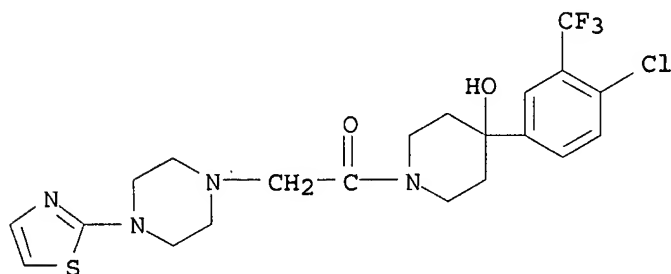
10/513699

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(NGF binding inhibitor; preparation of piperazinylacetyl piperidines as NGF  
binding inhibitors to p75NTR receptor and of the apoptosis induced by  
NGF)

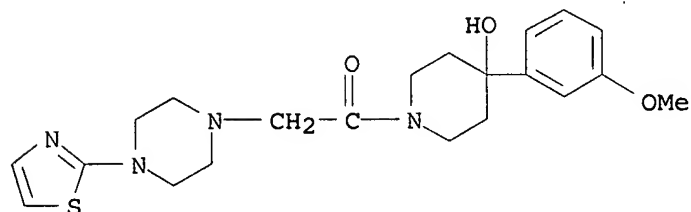
RN 634613-37-9 CAPLUS

CN 4-Piperidinol, 4-(4-chloro-3-(trifluoromethyl)phenyl)-1-[[4-(2-thiazolyl)-  
1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



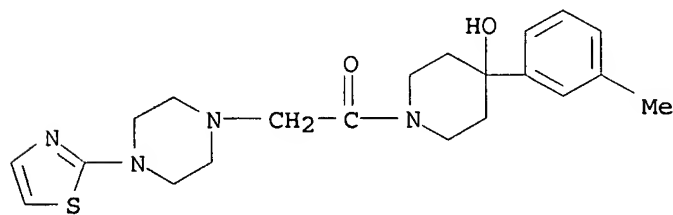
RN 634613-38-0 CAPLUS

CN 4-Piperidinol, 4-(3-methoxyphenyl)-1-[[4-(2-thiazolyl)-1-  
piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



RN 634613-39-1 CAPLUS

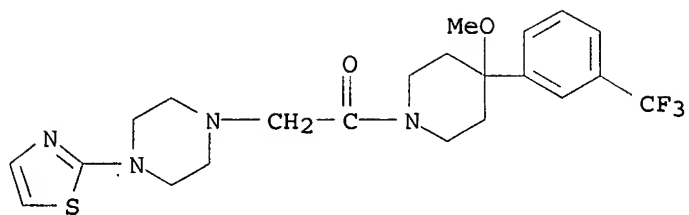
CN 4-Piperidinol, 4-(3-methylphenyl)-1-[[4-(2-thiazolyl)-1-  
piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



RN 634613-40-4 CAPLUS

CN Piperidine, 4-methoxy-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-  
(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

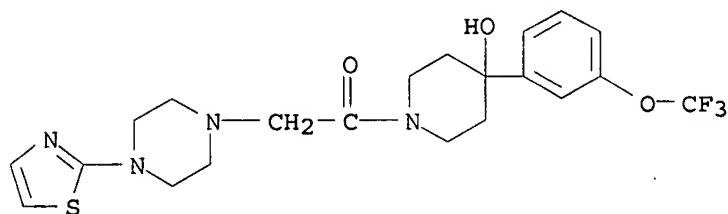
10/513699



● HCl

RN 634613-41-5 CAPLUS

CN 4-Piperidinol, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



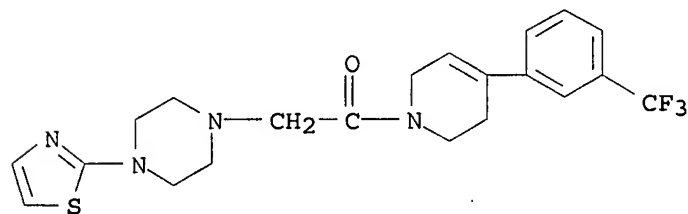
RN 634613-44-8 CAPLUS

CN Pyridine, 1,2,3,6-tetrahydro-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 634613-43-7

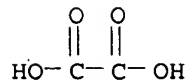
CMF C21 H23 F3 N4 O S



CM 2

CRN 144-62-7

CMF C2 H2 O4



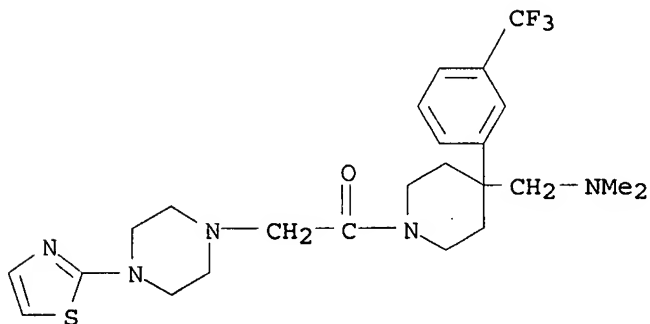
<12/04/2007>

Erich Leese

10/513699

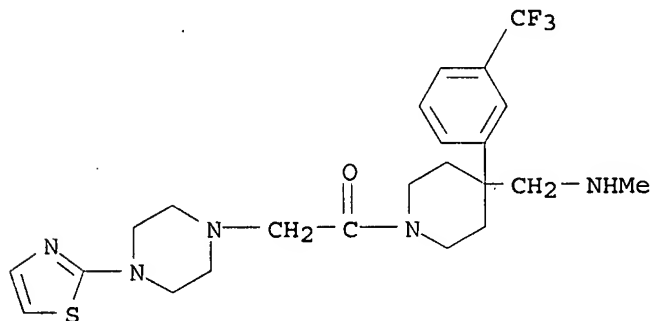
RN 634613-47-1 CAPLUS

CN 4-Piperidinemethanamine, N,N-dimethyl-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-48-2 CAPLUS

CN 4-Piperidinemethanamine, N-methyl-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

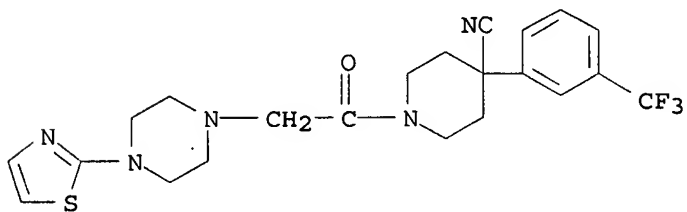


IT 634613-46-0P, 1-[2-[4-(1,3-Thiazol-2-yl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinecarbonitrile 634613-49-3P, tert-Butylmethyl [1-[2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methylcarbamate  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

RN 634613-46-0 CAPLUS

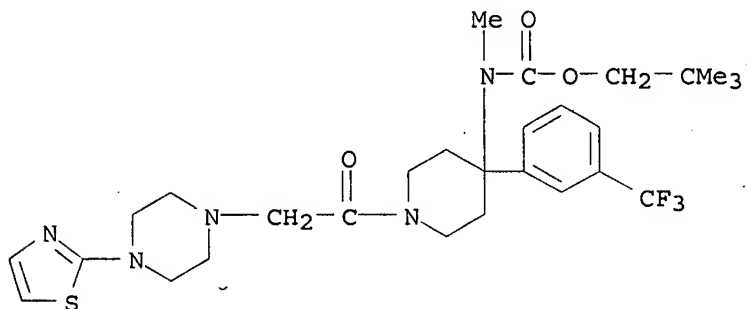
CN 4-Piperidinecarbonitrile, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



10/513699

RN 634613-49-3 CAPLUS

CN Carbamic acid, methyl[1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]-, 2,2-dimethylpropyl ester (9CI)  
(CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT